L18 ANSWER 65 OF 106 CAPLUS COPYRIGHT 2007 ACS on STN
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DOCUMENT NUMBER: 132:264957
TITLE: Preparation of arylaminoalkanols as cholesteryl ester transfer protein inhibitors.
INVENTOR(S): Sikorski, James A.; Durley, Richard C.; Grapperhaus, Margaret L.; Mischke, Deborah A.; Reinhard, Emily J.; Parnas, Barry L.; Rueppel, Melvin L.
PATENT ASSIGNEE(S): Monsanto Company, USA
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W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2345108	A1	20000406	CA 1999-2345108		19990923
AU 9961610	A1	20000417	AU 1999-61610		19990923
EP 1115694	A1	20010718	EP 1999-948431		19990923
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002525350	T	20020813	JP 2000-572185		19990923
PRIORITY APPLN. INFO.:			US 1998-101660P	P	19980925
			WO 1999-US22123	W	19990923

OTHER SOURCE(S): MARPAT 132:264957
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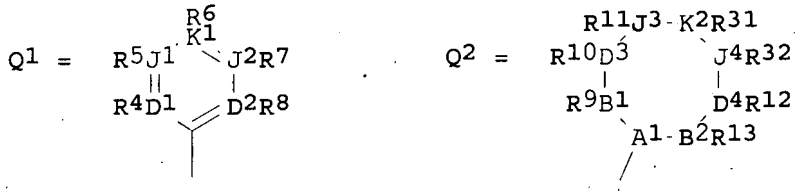
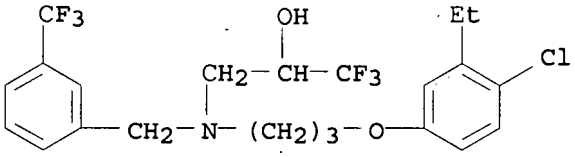


AB HOCR1R2(CHR3)nN(ZA)YQ [n = 1, 2; A, Q = CH2(CR37R38)v(CR33R34)uT(CR35R36)wH, Q1, Q2; T = bond, O, S, SO, SO2, CR33:CR35, C.tplbond.C; v = 0, 1; u, w = 0-6; A1 = CR30; D1, D2, J1, J2, K1 = C, N, O, S, bond; B1, B2, D3, D4, J3, J4, K2 = C, CR30, N, O, S, bond; B1D3, D3J3, J3K2, K2J4, J4D4, D4B2 = CR33:CR35, N:N; R1 = haloalkyl, haloalkoxymethyl; R2 = H, aryl, alkyl, alkenyl, haloalkyl, perhaloaryl, heteroaryl, etc.; R3 = H, aryl, alkyl, alkenyl, haloalkyl, haloalkoxyalkyl; Y, Z = bond, (CH2)q, (CH2)jO(CH2)k; q = 1, 2; j, k = 0, 1; R4, R8, R9, R13 = H, halo, haloalkyl, alkyl; R33, R34, R35, R36 = aryl, heteroaryl; R30 = spacer; R4, R5, R6, R7, R8, R9, R10, R11, R12, R13, R31, R32, R33, R34, R35, R36 = H, CO2H, heteroaralkylthio, heteroalkoxy, cycloalkylamino, acylalkyl, aroylalkoxy,

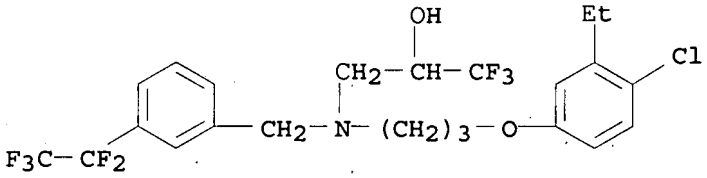
cycloalkenyloxy, OH, amino, NO2, arylthio, etc.; with provisos], were prepared Thus, 4-methylcyclohexylamine and 3-trifluoromethylbenzaldehyde in CHCl3 were refluxed through a Dean-Stark trap to give 100% imine, which was stirred with NaBH4 in MeOH to give 68.4% N-(4-methylcyclohexyl)[[3-(trifluoromethyl)phenyl]methyl]amine. This was heated with 3,3,3-trifluoro-1,2-epoxypropane and ytterbium(III) trifluoroacetate in MeCN at 50° to give 77% 3-[(4-methylcyclohexyl)[[(3-trifluoromethyl)phenyl]methyl]amino]-1,1,1-trifluoro-2-propanol. The latter inhibited CETP with IC50 = 15 μM.

IT 263246-29-3P 263246-30-6P 263246-31-7P
263246-32-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylaminoalkanols as cholesteryl ester transfer protein inhibitors)

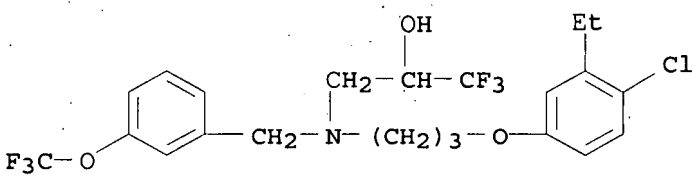
RN 263246-29-3 CAPLUS
CN 2-Propanol, 3-[[3-(4-chloro-3-ethylphenoxy)propyl][[3-(trifluoromethyl)phenyl]methyl]amino]-1,1,1-trifluoro- (9CI) (CA INDEX NAME)



RN 263246-30-6 CAPLUS
CN 2-Propanol, 3-[[3-(4-chloro-3-ethylphenoxy)propyl][[3-(pentafluoroethyl)phenyl]methyl]amino]-1,1,1-trifluoro- (9CI) (CA INDEX NAME)



RN 263246-31-7 CAPLUS
CN 2-Propanol, 3-[[3-(4-chloro-3-ethylphenoxy)propyl][[3-(trifluoromethoxy)phenyl]methyl]amino]-1,1,1-trifluoro- (9CI) (CA INDEX NAME)



RN 263246-32-8 CAPLUS
CN 2-Propanol, 3-[[3-(4-chloro-3-ethylphenoxy)propyl][[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]amino]-1,1,1-trifluoro- (9CI) (CA INDEX

NAME)